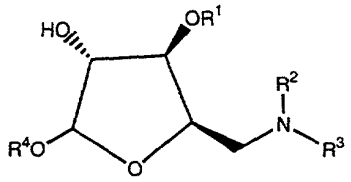
CLAIMS

What is claimed is:

1. A method for the synthesis of a compound of Formula Ia:



(Ia)

wherein:

R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

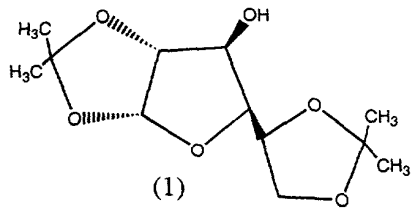
R^2 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl;

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; or R^2 and R^3 can be taken together with the nitrogen atom to which they are attached to form a heterocycloalkyl; and

R^4 is selected from the group consisting of H, -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -C_{2-8}alkynyl and -$(CH_2)_{1-2}$-heterocycloalkyl;

which comprises the steps of :

(a) reacting a compound of Formula 1:



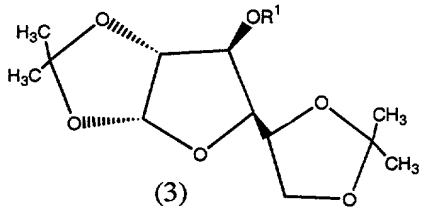
(1)

with an alkylating agent of Formula (2):

$$R^1\text{-}X \qquad (2)$$

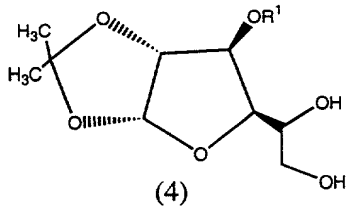
in the presence of a deprotonation agent in a suitable solvent; where X is iodo or bromo

and R^1 is as defined above, to yield an ether compound of Formula (3):



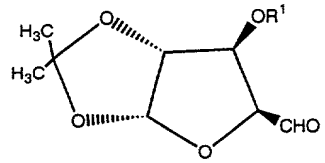
(3)

(b) reacting a compound of Formula (3) with a hydrolysing agent to form a diol compound of Formula (4):



(4)

(c) reacting a compound of Formula (4) with a cleaving agent in a suitable solvent to form an aldehyde compound of Formula (5):

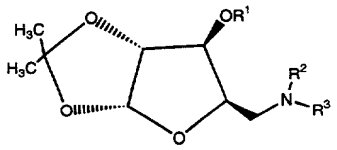


(5)

(d) reacting a compound of Formula (5) with a secondary amine compound of Formula (6):

$$R^2R^3NH \qquad (6)$$

where R^2 and R^3 are as defined above, and a reducing agent in a suitable solvent followed by treatment with a reducing agent scavenger resin in a suitable solvent and an amine scavenger resin in a suitable solvent to form a tertiary amine compound of Formula (7):



(7)

(e) reacting a compound of Formula (7) with a compound of Formula (8):

$$R^4OH \qquad (8)$$

where R^4 is selected from the group consisting of H, -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -C_{2-8}alkynyl and -$(CH_2)_{1-2}$-heterocycloalkyl, and an acid in a suitable solvent followed by treatment with an acid scavenger resin in a suitable solvent to form a compound of Formula Ia.

2. The method of Claim 1 wherein the suitable solvents are independently selected from the group consisting of dichloromethane, tetrahydrofuran, 1,4-dioxane, lower alkyl alcohols, and mixtures thereof.

3. The method of Claim 1 wherein the deprotonation agent in step (a) is KOH or potassium *tert*-butoxide.

4. The method of Claim 1 wherein the hydrolysing agent in step (b) is 70% acetic acid in water.

5. The method of Claim 1 wherein the cleaving agent in step (c) is $NaIO_4$ adsorbed on silica gel.

6. The method of Claim 1 wherein the reducing agent in step (d) is selected from the group consisting of $NaBH(OAc)_3$, $NaBH_4$, BH_3 in pyridine, and H_2/Pd catalyst.

7. The method of Claim 1 wherein the amine scavenger resin in step (d) is solid support-bound isocyanate or benzyloxybenzaldehyde resin.

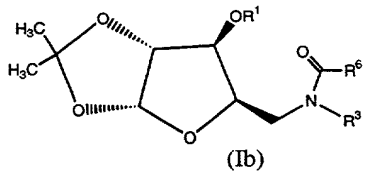
8. The method of Claim 1 wherein in step (d) an excess of the secondary amine compound of Formula (6) is used.

9. The method of Claim 1 wherein in step (d), an excess of the reducing agent is used.

10. The method of Claim 1 wherein the acid in step (e) is selected from the group consisting of HCl, triflic acid, HBr, trifluoroacetic acid, H_2SO_4 and *p*-toluenesulfonic acid.

11. The method of Claim 1 wherein the acid scavenger resin in step (e) is solid support-bound methylpiperidine resin.

12. A method for the synthesis of a compound of Formula Ib:



(Ib)

wherein:

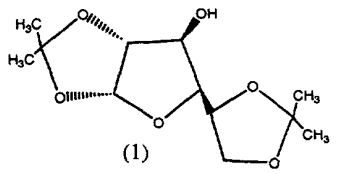
R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; or R^6 and R^3 can be taken together with the carbon and nitrogen atoms, respectively, to which they are attached to form a heterocycloalkyl containing an oxo (=O) substitution at the carbon atom; and

R^6 is selected from the group consisting of -C_{1-4}alkyl, -$(CH_2)_{0-2}$-O-aryl, -C_{2-6}alkenyl, -$(CH_2)_{0-2}$-cycloalkyl and -$(CH_2)_{1-4}$-aryl;

which comprises the steps of :

(a) reacting a compound of Formula (1):

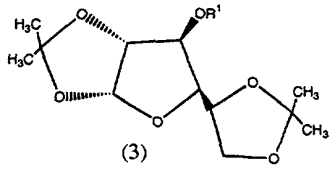


(1)

with an alkylating agent of Formula (2):

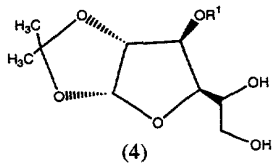
$$R^1\text{-}X \qquad (2)$$

in the presence of a deprotonation agent in a suitable solvent; where X is iodo or bromo and R^1 is as defined above, to yield an ether compound of Formula (3):



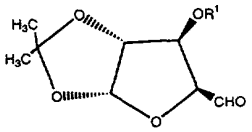
(3)

(b) reacting a compound of Formula (3) with a hydrolysing agent, to form a diol compound of Formula (4):



(4)

(c) reacting a compound of Formula (4) with a cleaving agent in a suitable solvent to form an aldehyde compound of Formula (5):



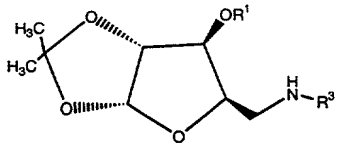
(5)

(d ') reacting a compound of Formula (5) with a primary amine compound of Formula (6'):

$$R^3NH_2 \qquad (6')$$

where R^3 is as defined above, and a reducing agent in a suitable solvent followed by treatment with a reducing agent scavenger resin in a suitable solvent and an amine

scavenger resin in a suitable solvent to form a secondary amine compound of Formula (7'):



(7')

(e') reacting a compound of Formula (7') with an acid chloride compound of Formula (9):

$$R^6COCl \qquad (9)$$

where R^6 is as defined above, and a suitable base in a suitable solvent followed by treatment with an acid chloride scavenger resin in a suitable solvent to form a compound of Formula Ib.

13. The method of Claim 12 wherein the suitable solvents are independently selected from the group consisting of dichloromethane, tetrahydrofuran, 1,4-dioxane, lower alkyl alcohols, and mixtures thereof.

14. The method of Claim 12 wherein the deprotonation agent in step (a) is KOH or potassium *tert*-butoxide.

15. The method of Claim 12 wherein the hydrolysing agent in step (b) is 70% acetic acid in water.

16. The method of Claim 12 wherein the cleaving agent in step (c) is $NaIO_4$ adsorbed on silica gel.

17. The method of Claim 12 wherein the reducing agent in step (d') is selected from the group consisting of $NaBH(OAc)_3$, $NaBH_4$, BH_3 in pyridine and H_2/Pd catalyst.

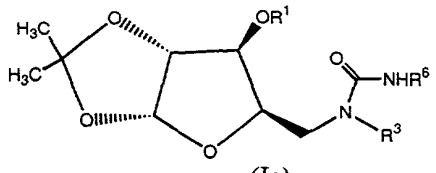
18. The method of Claim 12 wherein the amine scavenger resin in step (d') is solid support-bound isocyanate.

19. The method of Claim 12 wherein in step (d'), an excess of the primary amine compound of Formula (6') is used.

20. The method of Claim 12 wherein in step (d') an excess of the reducing agent is used.

21. The method of Claim 12 wherein the base in step (e') is selected from the group consisting of N-methylmorpholine, triethylamine, N,N-diisopropylethylamine, pyridine and 2,6-lutidine.

22. A method for the synthesis of a compound of Formula Ic:



(Ic)

wherein:

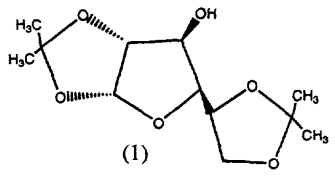
R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; or R^6 and R^3 can be taken together with the carbon and nitrogen atoms, respectively, to which they are attached to form a heterocycloalkyl containing an oxo (=O) substitution at the carbon atom; and

R^6 is selected from the group consisting of -C_{1-4}alkyl, -$(CH_2)_{0-2}$-O-aryl, -C_{2-6}alkenyl, -$(CH_2)_{0-2}$-cycloalkyl and -$(CH_2)_{1-4}$-aryl;

which comprises the steps of :

(a) reacting a compound of Formula 1:

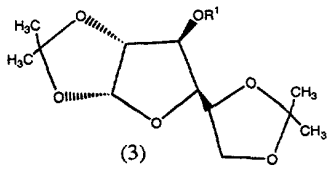


(1)

with an alkylating agent of Formula (2):

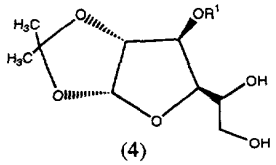
$$R^1\text{-}X \qquad (2)$$

in the presence of a deprotonation agent in a suitable solvent; where X is iodo or bromo and R^1 is as defined above, to yield an ether compound of Formula (3):



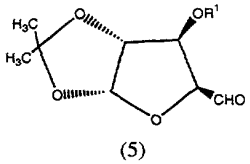
(3)

(b) reacting a compound of Formula (3) with a hydrolysing agent, to form a diol compound of Formula (4):



(4)

(c) reacting a compound of Formula (4) with a cleaving agent in a suitable solvent to form an aldehyde compound of Formula (5):

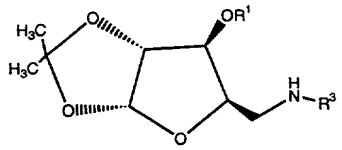


(5)

(d ') reacting a compound of Formula (5) with a primary amine compound of Formula (6'):

R^3NH_2 (6')

where R^3 is as defined above, and a reducing agent in a suitable solvent followed by treatment with a reducing agent scavenger resin in a suitable solvent and an amine scavenger resin in a suitable solvent to form a secondary amine compound of Formula (7'):



(7')

(e") reacting a compound of Formula (7') with an isocyanate compound of Formula (10):

R^6NCO (10)

where R^6 is as defined above, in a suitable solvent followed by treatment with an isocyanate scavenger resin in a suitable solvent to form a compound of Formula Ic.

23. The method of Claim 22 wherein the suitable solvents are independently selected from the group consisting of dichloromethane, tetrahydrofuran, 1,4-dioxane, lower alkyl alcohols, and mixtures thereof.

24. The method of Claim 22 wherein the deprotonation agent in step (a) is KOH or potassium *tert*-butoxide.

25. The method of Claim 22 wherein the hydrolysing agent in step (b) is 70% acetic acid in water.

26. The method of Claim 22 wherein the cleaving agent in step (c) is $NaIO_4$ adsorbed on silica gel.

27. The method of Claim 22 wherein the reducing agent in step (d') is selected from the group consisting of $NaBH(OAc)_3$, $NaBH_4$, BH_3 in pyridine, and H_2/Pd catalyst.

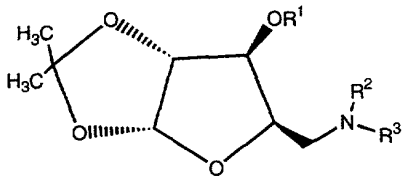
28. The method of Claim 22 wherein the amine scavenger resin in step (d') is solid support-bound isocyanate.

29. The method of Claim 22 wherein in step (d') an excess of the primary amine compound of Formula (6') is used.

30. The method of Claim 22 wherein in step (d') an excess of the reducing agent is used.

31. The method of Claim 22 wherein the isocyanate scavenger resin in step (e") is solid support-bound *tris*(2-aminoethyl) amine or aminomethyl resin.

32. A method for the synthesis of a compound of Formula (7):



(7)

wherein:

R^1 is selected from the group consisting of $-C_{1-14}$alkyl and $-(CH_2)_{0-4}$-aryl;

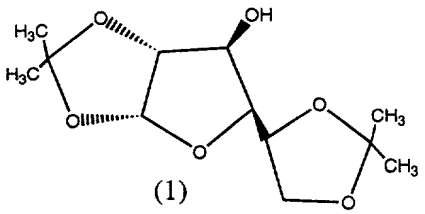
R^2 is selected from the group consisting of $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{0-4}$-heterocycloalkyl, $-(CH_2)_{1-4}$-heteroaryl, $-(CH_2)_{0-2}$-O-aryl, $-C(O)-R^6$ and $-C(O)-NHR^6$, where R^6 is selected from the group consisting of $-C_{1-4}$alkyl, $-(CH_2)_{0-2}$-O-aryl, $-C_{2-6}$alkenyl, $-(CH_2)_{0-2}$-cycloalkyl and $-(CH_2)_{1-4}$-aryl; and

R^3 is selected from the group consisting of $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{0-4}$-heterocycloalkyl, $-(CH_2)_{1-4}$-heteroaryl and $-(CH_2)_{0-2}$-O-aryl; or R^2 and R^3 can be taken together with the nitrogen atom to which they

are attached to form a heterocycloalkyl;

which comprises the steps of :

(a) reacting a compound of Formula 1:

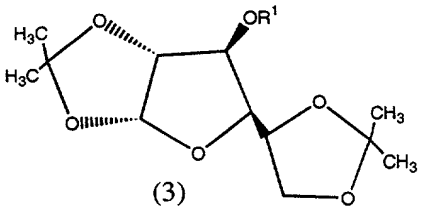


(1)

with an alkylating agent of Formula (2):

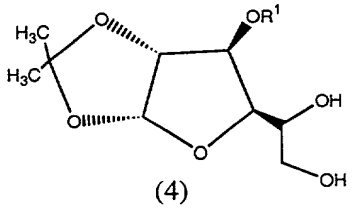
$$R^1\text{-}X \qquad (2)$$

in the presence of a deprotonation agent in a suitable solvent; where X is iodo or bromo and R^1 is as defined above, to yield an ether compound of Formula (3):



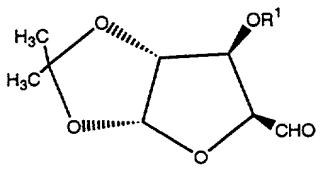
(3)

(b) reacting a compound of Formula (3) with a hydrolysing agent, to form a diol compound of Formula (4):



(4)

(c) reacting a compound of Formula (4) with a cleaving agent in a suitable solvent to form an aldehyde compound of Formula (5):



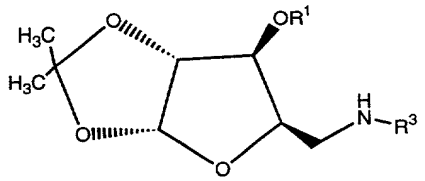
(5)

(d) reacting a compound of Formula (5) with a secondary amine compound of Formula (6):

$$R^2R^3NH \qquad (6)$$

where R^2 and R^3 are as defined above, and a reducing agent in a suitable solvent followed by treatment with a reducing agent scavenger resin in a suitable solvent and an amine scavenger resin in a suitable solvent to form a tertiary amine compound of Formula (7).

33. A method for the synthesis of a compound of Formula (7'):



(7')

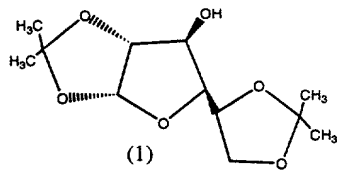
wherein:

R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl; and

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl;

which comprises the steps of :

(a) reacting a compound of Formula 1:

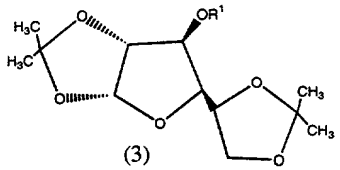


(1)

with an alkylating agent of Formula (2):

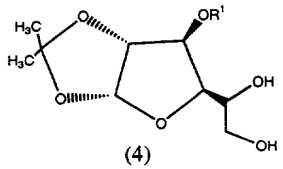
$$R^1\text{-}X \qquad (2)$$

in the presence of a deprotonation agent in a suitable solvent; where X is iodo or bromo and R^1 is as defined above, to yield an ether compound of Formula (3):



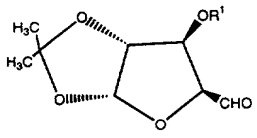
(3)

(b) reacting a compound of Formula (3) with a hydrolysing agent, to form a diol compound of Formula (4):



(4)

(c) reacting a compound of Formula (4) with a cleaving agent in a suitable solvent to form an aldehyde compound of Formula (5):



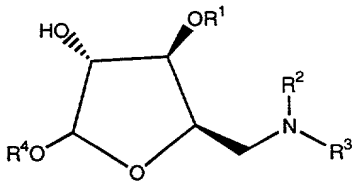
(5)

(d ') reacting a compound of Formula (5) with a primary amine compound of Formula (6'):

$$R^3NH_2 \qquad (6')$$

where R^3 is as defined above, and a reducing agent in a suitable solvent followed by treatment with a reducing agent scavenger resin in a suitable solvent and an amine scavenger resin in a suitable solvent to form a secondary amine compound of Formula (7').

34. A method for the synthesis of a compound of Formula Ia:



(Ia)

wherein:

R^1 is selected from the group consisting of $-C_{1-14}$alkyl and $-(CH_2)_{0-4}$-aryl;

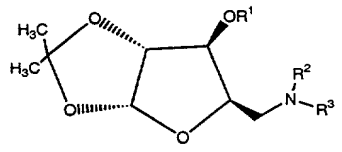
R^2 is selected from the group consisting of $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{0-4}$-heterocycloalkyl, $-(CH_2)_{1-4}$-heteroaryl and $-(CH_2)_{0-2}$-O-aryl;

R^3 is selected from the group consisting of $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{0-4}$-heterocycloalkyl, $-(CH_2)_{1-4}$-heteroaryl and $-(CH_2)_{0-2}$-O-aryl; or R^2 and R^3 can be taken together with the nitrogen atom to which they are attached to form a heterocycloalkyl; and

R^4 is selected from the group consisting of H, $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-C_{2-8}$alkynyl and $-(CH_2)_{1-2}$-heterocycloalkyl;

which comprises the step of :

(a) reacting a compound of Formula (7)



(7)

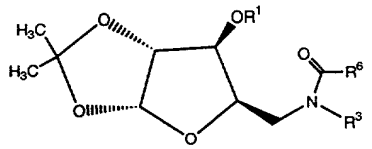
where R^1, R^2, and R^3 are as defined above,

with a compound of Formula (8):

$$R^4OH \qquad (8)$$

where R^4 is selected from the group consisting of H, -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -C_{2-8}alkynyl and -$(CH_2)_{1-2}$-heterocycloalkyl, and an acid in a suitable solvent followed by treatment with an acid scavenger resin in a suitable solvent to form a compound of Formula Ia.

35. A method for the synthesis of a compound of Formula Ib:



(Ib)

wherein:

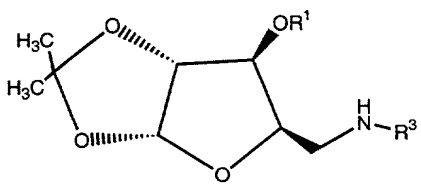
R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; or R^6 and R^3 can be taken together with the carbon and nitrogen atoms, respectively, to which they are attached to form a heterocycloalkyl containing an oxo (=O) substitution at the carbon atom; and

R^6 is selected from the group consisting of -C_{1-4}alkyl, -$(CH_2)_{0-2}$-O-aryl, -C_{2-6}alkenyl, -$(CH_2)_{0-2}$-cycloalkyl and -$(CH_2)_{1-4}$-aryl;

which comprises the step of :

(a) reacting a compound of Formula (7')



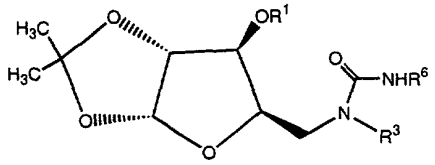
(7')

with an acid chloride compound of Formula (9):

$$R^6COCl \qquad (9)$$

where R^6 is as defined above, and a suitable base in a suitable solvent followed by treatment with an acid chloride scavenger resin in a suitable solvent to form a compound of Formula Ib.

36. A method for the synthesis of a compound of Formula Ic:



(Ic)

wherein:

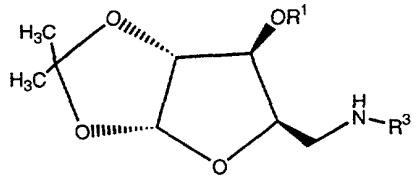
R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; or R^6 and R^3 can be taken together with the carbon and nitrogen atoms, respectively, to which they are attached to form a heterocycloalkyl containing an oxo (=O) substitution at the carbon atom; and

R^6 is selected from the group consisting of -C_{1-4}alkyl, -$(CH_2)_{0-2}$-O-aryl, -C_{2-6}alkenyl, -$(CH_2)_{0-2}$-cycloalkyl and -$(CH_2)_{1-4}$-aryl;

which comprises the steps of :

(a) reacting a compound of Formula (7')



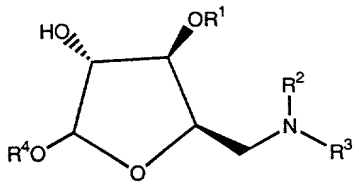
(7')

with an isocyanate compound of Formula (10):

$$R^6NCO \qquad (10)$$

where R^6 is as defined above, in a suitable solvent followed by treatment with an isocyanate scavenger resin in a suitable solvent to form a compound of Formula Ic.

37. A method for the synthesis of an array compounds of Formula Ia:



(Ia)

wherein:

R^1 is selected from the group consisting of $-C_{1-14}$alkyl and $-(CH_2)_{0-4}$-aryl;

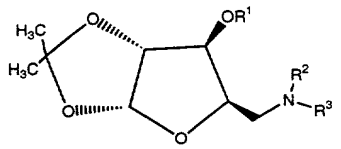
R^2 is selected from the group consisting of $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{0-4}$-heterocycloalkyl, $-(CH_2)_{1-4}$-heteroaryl and $-(CH_2)_{0-2}$-O-aryl;

R^3 is selected from the group consisting of $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{0-4}$-heterocycloalkyl, $-(CH_2)_{1-4}$-heteroaryl and $-(CH_2)_{0-2}$-O-aryl; or R^2 and R^3 can be taken together with the nitrogen atom to which they are attached to form a heterocycloalkyl; and

R^4 is selected from the group consisting of H, $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-C_{2-8}$alkynyl and $-(CH_2)_{1-2}$-heterocycloalkyl;

which comprises the step of :

(a) reacting an array of compounds of Formula (7)



(7)

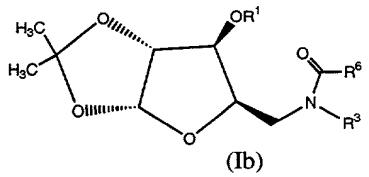
where R^1, R^2, and R^3 are as defined above,

with an array of compounds of Formula (8):

$$R^4OH \qquad (8)$$

where R^4 is selected from the group consisting of H, -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -C_{2-8}alkynyl and -$(CH_2)_{1-2}$-heterocycloalkyl, and an acid in a suitable solvent followed by treatment with an acid scavenger resin in a suitable solvent to form an array of compounds of Formula Ia.

38. A method for the synthesis of an array of compounds of Formula Ib:



(Ib)

wherein:

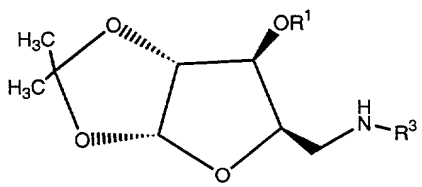
R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; or R^6 and R^3 can be taken together with the carbon and nitrogen atoms, respectively, to which they are attached to form a heterocycloalkyl containing an oxo (=O) substitution at the carbon atom; and

R^6 is selected from the group consisting of -C_{1-4}alkyl, -$(CH_2)_{0-2}$-O-aryl, -C_{2-6}alkenyl, -$(CH_2)_{0-2}$-cycloalkyl and -$(CH_2)_{1-4}$-aryl;

which comprises the step of :

(a) reacting an array of compounds of Formula (7')



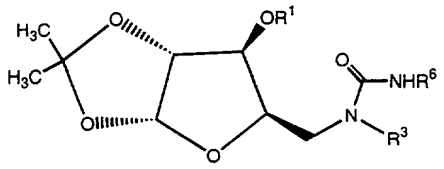
(7')

with an array of acid chloride compounds of Formula (9):

$$R^6COCl \qquad (9)$$

where R^6 is as defined above, and a suitable base in a suitable solvent followed by treatment with an acid chloride scavenger resin in a suitable solvent to form an array of compounds of Formula Ib.

39. A method for the synthesis of an array of compounds of Formula Ic:



(Ic)

wherein:

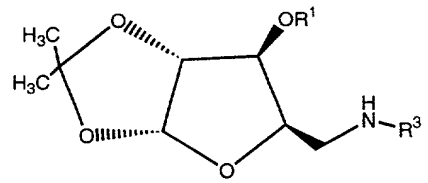
R^1 is selected from the group consisting of $-C_{1-14}$alkyl and $-(CH_2)_{0-4}$-aryl;

R^3 is selected from the group consisting of $-C_{1-14}$alkyl, $-(CH_2)_{0-2}$-cycloalkyl, $-C_{2-6}$alkenyl, $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{0-4}$-heterocycloalkyl, $-(CH_2)_{1-4}$-heteroaryl and $-(CH_2)_{0-2}$-O-aryl; or R^6 and R^3 can be taken together with the carbon and nitrogen atoms, respectively, to which they are attached to form a heterocycloalkyl containing an oxo (=O) substitution at the carbon atom; and

R^6 is selected from the group consisting of $-C_{1-4}$alkyl, $-(CH_2)_{0-2}$-O-aryl, $-C_{2-6}$alkenyl, $-(CH_2)_{0-2}$-cycloalkyl and $-(CH_2)_{1-4}$-aryl;

which comprises the steps of :

(a) reacting an array of compounds of Formula (7')



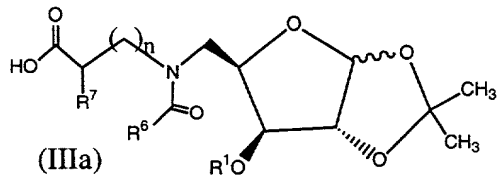
(7')

with an array of isocyanate compounds of Formula (10):

$$R^6NCO \qquad (10)$$

where R^6 is as defined above, in a suitable solvent followed by treatment with an isocyanate scavenger resin in a suitable solvent to form an array compounds of Formula Ic.

40. A method for the solid phase synthesis of a compound of Formula IIIa



(IIIa)

wherein:

R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

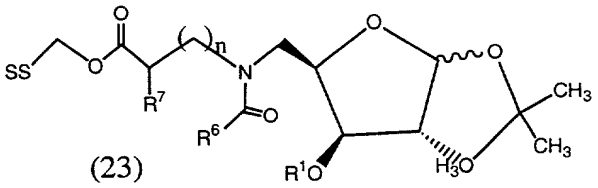
R^6 is selected from the group consisting of -C_{1-4}alkyl, -$(CH_2)_{0-2}$-O-aryl, -C_{2-6}alkenyl, -$(CH_2)_{0-2}$-cycloalkyl and -$(CH_2)_{1-4}$-aryl;

R^7 is an amino acid side chain; and

n is an integer from 1-14;

which comprises the step of:

(a) reacting a compound of Formula (23)

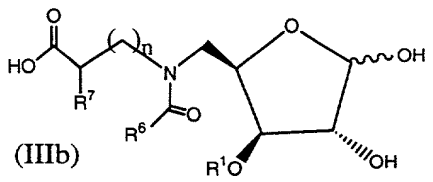


(23)

where SS is a solid support, and R^1, R^6, R^7, and n are as defined above, with an acid in a suitable solvent to form a compound of Formula IIIa.

41. The method according to Claim 40, wherein the acid is trifluoroacetic acid and the solvent is dichloromethane.

42. A method for the solid phase synthesis of a compound of Formula IIIb



(IIIb)

wherein:

R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

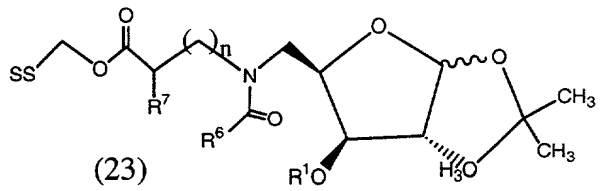
R^6 is selected from the group consisting of -C_{1-4}alkyl, -$(CH_2)_{0-2}$-O-aryl, -C_{2-6}alkenyl, -$(CH_2)_{0-2}$-cycloalkyl and -$(CH_2)_{1-4}$-aryl;

R^7 is an amino acid side chain; and

n is an integer from 1-14;

which comprises the step of:

(a) reacting a compound of Formula (23)

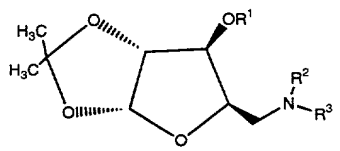


(23)

where SS is a solid support, and R^1, R^6, R^7, and n are as defined above, with an acid in an aqueous solvent to form a compound of Formula IIIb.

43. The method according to Claim 42 wherein the acid is trifluoroacetic acid.

44. A compound of Formula (7)



(7)

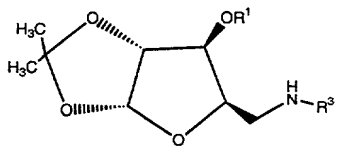
where

R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl;

R^2 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; and

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl; or R^2 and R^3 can be taken together with the nitrogen atom to which they are attached to form a heterocycloalkyl.

45. A compound of Formula (7')



(7')

where

R^1 is selected from the group consisting of -C_{1-14}alkyl and -$(CH_2)_{0-4}$-aryl; and

R^3 is selected from the group consisting of -C_{1-14}alkyl, -$(CH_2)_{0-2}$-cycloalkyl, -C_{2-6}alkenyl, -$(CH_2)_{1-4}$-aryl, -$(CH_2)_{0-4}$-heterocycloalkyl, -$(CH_2)_{1-4}$-heteroaryl and -$(CH_2)_{0-2}$-O-aryl.

46. A compound selected from:

4-Ethoxy-2-isopropoxy-5(4-phenyl-piperzin-1-ylmethyl)-tetrahydro-furan-3-ol;

5-[(Benzyl-phenethyl-amino)-methyl]-4-ethoxy-2-(2-methoxy-ethoxy)-tetrahydro-furan-3-ol;

4-Ethoxy-2-methoxy-5-(1,3,4,5-tetrahydro-pyrido[4,3-b] indol-2-ylmethyl)-tetrahydro-3-ol;

5-[4-(3-Chloro-phenyl)-piperazin-1-ylmethyl]-2-cyclopropylmethoxy-4-ethoxy-tetrahydro-furan-3-ol;

5-Diallylaminomethyl-2-isobutoxy-4-(naphthalen-2-ylmethoxy)tetrahydro-furan-3-ol;

2-(3-Methoxy-3-methyl-butoxy)-5-morpholin-4-ylmethyl-

4-(naphthalen-2-yl methoxy)-tetrahydro-3-furan-3-ol;

5-[(Benzyl-methyl-amino)-methyl]-4-(naphthalen-2-yl methoxy)-2-pent-2-ynyloxy-tetrahydro-furan-3-ol;

4-Methoxy-5-(4-phenyl-piperazin-1-ylmethyl)-2-propoxy-tetrahydro-furan-3-ol;

2-Cyclopropylmethoxy-5-(3,4-dihydro-1H-isoquinolin-2-ylmethyl)-4-methoxy-tetrahydro-furan-3-ol;

5-[(Benzyl-methyl-amino)-methyl]-4-methoxy-2-pent-2-ynyloxy-tetrahydro-furan-3-ol;

4-Butoxy-2-(2-methoxy-ethoxy)-5-[(methyl-phenethyl-amino)-methyl]-tetrahydro-furan-3-ol;

4-Butoxy-2-methoxy-5-(1,3,4,5-tetrahydro-pyrido[4,3-b]indol-2-ylmethyl)-tetrahydro-furan-3-ol;

4-(3-Methoxy-benzyloxy)-2-(3-methoxy-3-methyl-butoxy)-5-morpholin-4-ylmethyl-tetrahydro-furan-3-ol;

5-Diallylaminomethyl-2-isobutoxy-4-(3-methoxy-benzyloxy)-tetrahydro-furan-3-ol; and

5-[(Dibenzylamino)-methyl]-2-ethoxy-4-(3-methoxy-benzyloxy)-tetrahydro-furan-3-ol.

47. A compound selected from:

Cyclohexanecarboxylic acid (6-benzyloxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl)-(2-diethylamino-ethyl)-amide;

N-(6-Benzyloxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl)-*N*-(2-methoxy-benzyl)-2,2-diphenyl-acetamide;

N-Butyl-*N*-[6-(3-methoxy-benzyloxy)-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl]-benzamide;

N-(2,4-Dimethoxy-benzyl)-*N*-(6-methoxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol -5-ylmethyl)-2,2-diphenyl-acetamide;

Cyclohexanecarboxylic acid (6-benzyloxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl)-(3-methoxy-propyl)-amide; and

N-(1-Benzyl-pyrrolidin-3-yl)-*N*-[6-(3-methoxy-benzyloxy)-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl]-benzamide.

48. A compound selected from:

1-Benzyl-3-ethyl-1-(6-methoxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl)-urea;

1-(6-Methoxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl)-3-phenyl-1-(4-trifluoromethoxy-benzyl)-urea;

1-Cyclopropylmethyl-3-isopropyl-1-[6-(3-methoxy-benzyloxy)-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl]-urea;

3-Ethyl-1-(6-methoxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl)-1-phenethyl-urea;

1-(6-Benzyloxy-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl)-3-ethyl-1-[2-(1*H*-indol-2-yl)-ethyl]-urea; and

1-Allyl-1-[6-(3-methoxy-benzyloxy)-2,2-dimethyl-tetrahydro-furo[2,3-*d*][1,3]dioxol-5-ylmethyl]-3-phenyl-urea.

49. A compound selected from:

N-(4,5-Dihydroxy-3-methoxy-tetrahydro-furan-2-ylmethyl)-*N*-(2-methoxy-benzyl)-2,2-diphenyl-acetamide; and

N-Butyl-*N*-[4,5-dihydroxy-3-(3-methoxy-benzyloxy)-tetrahydro-furan-2-ylmethyl]-benzamide.

50. A compound named 1-(3-benzyloxy-4,5-dihydroxy-tetrahydro-furan-2-ylmethyl)-3-phenyl-1-(4-trifluoromethoxy-benzyl)-urea.